

USSN: 09/736,858

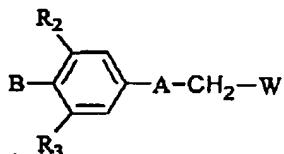
Ref. No. 030116 (formerly 6295.N)

Amendments to the Claims:

This listing of claims will replace all prior versions, and listings, of claims in the application:

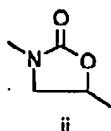
Listing of Claims:

1. (Previously Presented) A compound of formula I

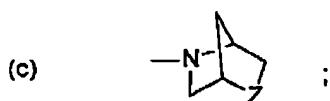
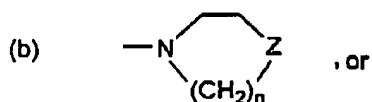
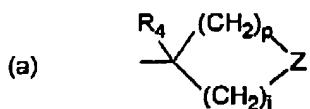


or a pharmaceutically acceptable salt thereof wherein:

A is a structure ii,



B is



W is NHC(=X)R<sub>1</sub>, or -Y-het; X is O, or S; provided that when X is O, B is not the subsection (b);

Y is NH, O, or S;

Z is S(=O)(=N-R<sub>3</sub>);

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R<sub>1</sub> is

- (a) H,
- (b) NH<sub>2</sub>,
- (c) NHC<sub>1-4</sub>alkyl,
- (d) C<sub>1-4</sub>alkyl,
- (e) C<sub>2-4</sub>alkenyl,
- (f) OC<sub>1-4</sub>alkyl,
- (g) SC<sub>1-4</sub>alkyl, or
- (h) (CH<sub>2</sub>)<sub>p</sub>C<sub>3-6</sub>cycloalkyl;

at each occurrence, alkyl or cycloalkyl in R<sub>1</sub> is optionally substituted with one or more F, Cl or CN;

R<sub>2</sub> and R<sub>3</sub> are independently H, F, Cl, methyl or ethyl;

R<sub>4</sub> is H, CH<sub>3</sub>, or F;

R<sub>5</sub> is

- (c) C(=O)C<sub>1-4</sub>alkyl,
- (d) C(=O)OC<sub>1-4</sub>alkyl,
- (e) C(=O)NHR<sub>6</sub>, or
- (f) C(=S)NHR<sub>6</sub>;

R<sub>6</sub> is H, C<sub>1-4</sub>alkyl, or phenyl;

at each occurrence, alkyl in R<sub>5</sub> and R<sub>6</sub> is optionally substituted with one or more halo, CN, NO<sub>2</sub>, phenyl, C<sub>3-6</sub>cycloalkyl, OR<sub>7</sub>, C(=O)R<sub>7</sub>, OC(=O)R<sub>7</sub>, C(=O)OR<sub>7</sub>, S(=O)<sub>m</sub>R<sub>7</sub>, S(=O)<sub>m</sub>NR<sub>7</sub>R<sub>7</sub>, NR<sub>7</sub>SO<sub>2</sub>R<sub>7</sub>, NR<sub>7</sub>SO<sub>2</sub>NR<sub>7</sub>R<sub>7</sub>, NR<sub>7</sub>C(=O)R<sub>7</sub>, C(=O)NR<sub>7</sub>R<sub>7</sub>, NR<sub>7</sub>R<sub>7</sub>, oxo, or oxime;

R<sub>7</sub> is H, C<sub>1-4</sub>alkyl, or phenyl;

at each occurrence, phenyl is optionally substituted with one or more halo, CN, NO<sub>2</sub>, phenyl, C<sub>3-6</sub>cycloalkyl, OR<sub>7</sub>, C(=O)R<sub>7</sub>, OC(=O)R<sub>7</sub>, C(=O)OR<sub>7</sub>, S(=O)<sub>m</sub>R<sub>7</sub>, S(=O)<sub>m</sub>NR<sub>7</sub>R<sub>7</sub>, NR<sub>7</sub>SO<sub>2</sub>R<sub>7</sub>, NR<sub>7</sub>SO<sub>2</sub>NR<sub>7</sub>R<sub>7</sub>, NR<sub>7</sub>C(=O)R<sub>7</sub>, C(=O)NR<sub>7</sub>R<sub>7</sub>, or NR<sub>7</sub>R<sub>7</sub>; when R<sub>5</sub> is C<sub>1-4</sub>alkyl substituted with phenyl, the phenyl is additionally optionally substituted with CF<sub>3</sub> and CH<sub>3</sub>;

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het is a C-linked five- (5) membered heteroaryl ring having 1-4 heteroatoms selected from the group consisting of oxygen, sulfur, and nitrogen, or het is a C-linked six (6) membered heteroaryl ring having 1-3 nitrogen atoms;

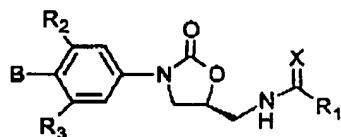
p is 0, 1, or 2;

j is 1, 2, 3, 4, or 5; provided that j and p taken together are 2, 3, 4 or 5;

m is 0, 1, or 2; and

n is 2 or 3.

2. (Previously Presented) A compound of claim 1 having the formula IA:



IA.

3. (Original) A compound of claim 2 wherein R<sub>1</sub> is C<sub>1-4</sub>alkyl.
4. (Original) A compound of claim 2 wherein R<sub>1</sub> is ethyl.
5. (Original) A compound of claim 2 wherein R<sub>1</sub> is methyl.
6. (Original) A compound of claim 2 wherein R<sub>1</sub> is C<sub>3-6</sub>cycloalkyl.
7. (Original) A compound of claim 2 wherein R<sub>1</sub> is cyclopropyl.
8. (Previously Presented) A compound of claim 2, 3, 4, 5, 6, or 7 wherein X is a sulfur atom.
9. (Previously Presented) A compound of claim 2, 3, 4, 5, 6, or 7 wherein X is an oxygen atom.
10. (Original) A compound of claim 8 wherein one of R<sub>2</sub> and R<sub>3</sub> is H, the other one is F.

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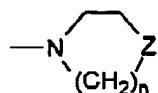
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11. (Original) A compound of claim 9 wherein one of R<sub>2</sub> and R<sub>3</sub> is H, the other one is F.

12. (Original) A compound of claim 8 wherein R<sub>4</sub> is H.

13. (Original) A compound of claim 9 wherein R<sub>4</sub> is H.

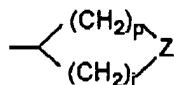
14. (Original) A compound of claim 8 wherein structure B is



wherein Z is S(=O)(=NR<sub>5</sub>).

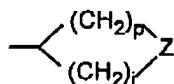
15. (Canceled).

16. (previously amended) A compound of claim 8 wherein structure B is



wherein Z is S(=O)(=NR<sub>5</sub>).

17. (Original) A compound of claim 9 wherein structure B is



wherein Z is S(=O)(=NR<sub>5</sub>).

18-21. (Canceled).

22. (Original) A compound of claim 14 wherein R<sub>5</sub> is C(=O)C<sub>1-4</sub>alkyl, C(=O)OC<sub>1-4</sub>alkyl, C(=O)NH<sub>2</sub>, or C(=O)NHC<sub>1-4</sub>alkyl.

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23. (Original) A compound of claim 22 wherein R<sub>5</sub> is C(=O)NHCH<sub>3</sub>, or C(=O)NHCH<sub>2</sub>CH<sub>3</sub>.

24. (Original) A compound of claim 14 wherein R<sub>5</sub> is C(=O)CH<sub>3</sub>.

25. (Original) A compound of claim 14 wherein R<sub>5</sub> is C(=O)OCH<sub>3</sub>.

26-29. (Canceled).

30. (Original) A method for treating microbial infections comprising: administering to a mammal in need thereof an effective amount of a compound of formula I as shown in claim 1.

31. (Original) The method of claim 30 wherein said compound of formula I is administered orally, parenterally, transdermally, or topically in a pharmaceutical composition.

32. (Original) The method of claim 30 wherein said compound is administered in an amount of from about 0.1 to about 100 mg/kg of body weight/day.

33. (Original) The method of claim 30 wherein said compound is administered in an amount of from about 1 to about 50 mg/kg of body weight/day.

34. (Original) A method for treating microbial infections of claim 30 wherein the infection is skin infection.

35. (Original) A method for treating microbial infections of claim 30 wherein the infection is eye infection.

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36. (Original) A pharmaceutical composition comprising a compound of claim 1 and a pharmaceutically acceptable carrier.

37. (Canceled).

38. (Original) A compound of claim 16 wherein R<sub>5</sub> is C(=O)C<sub>1-4</sub>alkyl, C(=O)OC<sub>1-4</sub>alkyl, C(=O)NH<sub>2</sub>, or C(=O)NHC<sub>1-4</sub>alkyl.

39. (Original) A compound of claim 38 wherein R<sub>5</sub> is C(=O)NHCH<sub>3</sub>, or C(=O)NHCH<sub>2</sub>CH<sub>3</sub>.

40. (Original) A compound of claim 16 wherein R<sub>5</sub> is C(=O)CH<sub>3</sub>.

41. (Original) A compound of claim 16 wherein R<sub>5</sub> is C(=O)OCH<sub>3</sub>.

42. (Original) A compound of claim 17 wherein R<sub>5</sub> is C(=O)C<sub>1-4</sub>alkyl, C(=O)OC<sub>1-4</sub>alkyl, C(=O)NH<sub>2</sub>, or C(=O)NHC<sub>1-4</sub>alkyl.

43. (Original) A compound of claim 42 wherein R<sub>5</sub> is C(=O)NHCH<sub>3</sub>, or C(=O)NHCH<sub>2</sub>CH<sub>3</sub>.

44. (Original) A compound of claim 17 wherein R<sub>5</sub> is C(=O)CH<sub>3</sub>.

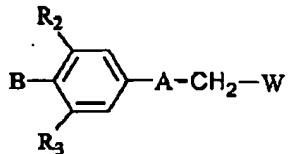
45. (Original) A compound of claim 17 wherein R<sub>5</sub> is C(=O)OCH<sub>3</sub>.

46. (Currently Amended) A compound of claim 2 which is  
N-[((5S)-3-{3-fluoro-4-(1-[(methoxycarbonyl)imino]-1-oxido-1λ<sup>4</sup>, 4-thiazinan-4-yl)phenyl}-2-oxo-1,3-oxazolidin-5-yl)methyl]propanethioamide; or  
N-[((5S)-3-{3-fluoro-4-(1-[(methoxycarbonyl)imino]-1-oxido-1λ<sup>4</sup>, 4-thiazinan-4-yl)phenyl}-2-oxo-1,3-oxazolidin-5-yl)methyl]cyclopropanecarbothioamide;.

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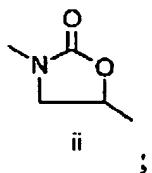
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## 47. (Previously Presented) A compound of formula II

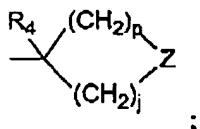


or a pharmaceutically acceptable salt thereof wherein:

A is a structure ii



B is

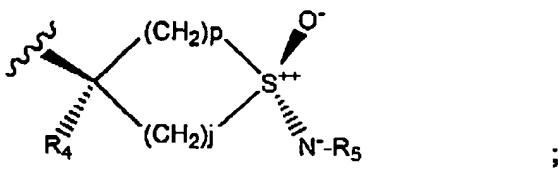


W is NHC(=X)R1, or -Y-het;

X is O, or S;

Y is NH, O, or S;

Z is S(=O)(=N-R5) and the B ring has the following stereochemistry



R1 is

(a) H,

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- (b) NH<sub>2</sub>,
- (c) NHC<sub>1-4</sub>alkyl,
- (d) C<sub>1-4</sub>alkyl,
- (e) C<sub>2-4</sub>alkenyl,
- (f) OC<sub>1-4</sub>alkyl,
- (g) SC<sub>1-4</sub>alkyl, or
- (h) (CH<sub>2</sub>)<sub>p</sub>C<sub>3-6</sub>cycloalkyl;

at each occurrence, alkyl or cycloalkyl in R<sub>1</sub> is optionally substituted with one or more F, Cl or CN;

R<sub>2</sub> and R<sub>3</sub> are independently H, F, Cl, methyl or ethyl;

R<sub>4</sub> is H, CH<sub>3</sub>, or F;

R<sub>5</sub> is

- (a) H,
- (b) C<sub>1-4</sub>alkyl,
- (c) C(=O)C<sub>1-4</sub>alkyl,
- (d) C(=O)OC<sub>1-4</sub>alkyl,
- (e) C(=O)NHR<sub>6</sub>, or
- (f) C(=S)NHR<sub>6</sub>;

R<sub>6</sub> is H, C<sub>1-4</sub>alkyl, or phenyl;

at each occurrence, alkyl in R<sub>5</sub> and R<sub>6</sub> is optionally substituted with one or more halo, CN, NO<sub>2</sub>, phenyl, C<sub>3-6</sub> cycloalkyl, OR<sub>7</sub>, C(=O)R<sub>7</sub>, OC(=O)R<sub>7</sub>, C(=O)OR<sub>7</sub>, S(=O)<sub>m</sub>R<sub>7</sub>, S(=O)<sub>m</sub>NR<sub>7</sub>R<sub>7</sub>, NR<sub>7</sub>SO<sub>2</sub>R<sub>7</sub>, NR<sub>7</sub>SO<sub>2</sub>NR<sub>7</sub>R<sub>7</sub>, NR<sub>7</sub>C(=O)R<sub>7</sub>, C(=O)NR<sub>7</sub>R<sub>7</sub>, NR<sub>7</sub>R<sub>7</sub>, oxo, or oxime;

R<sub>7</sub> is H, C<sub>1-4</sub>alkyl, or phenyl;

at each occurrence, phenyl is optionally substituted with one or more halo, CN, NO<sub>2</sub>, phenyl, C<sub>3-6</sub> cycloalkyl, OR<sub>7</sub>, C(=O)R<sub>7</sub>, OC(=O)R<sub>7</sub>, C(=O)OR<sub>7</sub>, S(=O)<sub>m</sub>R<sub>7</sub>, S(=O)<sub>m</sub>NR<sub>7</sub>R<sub>7</sub>, NR<sub>7</sub>SO<sub>2</sub>R<sub>7</sub>, NR<sub>7</sub>SO<sub>2</sub>NR<sub>7</sub>R<sub>7</sub>, NR<sub>7</sub>C(=O)R<sub>7</sub>, C(=O)NR<sub>7</sub>R<sub>7</sub>, or NR<sub>7</sub>R<sub>7</sub>; when R<sub>5</sub> is C<sub>1-4</sub>alkyl substituted with phenyl, the phenyl is additionally optionally substituted with CF<sub>3</sub> and CH<sub>3</sub>;

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het is a C-linked five- (5) membered heteroaryl ring having 1-4 heteroatoms selected from the group consisting of oxygen, sulfur, and nitrogen, or het is a C-linked six (6) membered heteroaryl ring having 1-3 nitrogen atoms;

p is 0, 1, or 2;

j is 1, 2, 3, 4, or 5; provided that j and p taken together are 2, 3, 4 or 5;

m is 0, 1, or 2.

48. (Previously Presented) The compound of claim 47 wherein R<sub>1</sub> is C<sub>1-4</sub>alkyl.

49. (Previously Presented) The compound of claim 47 wherein R<sub>1</sub> is ethyl.

50. (Previously Presented) The compound of claim 47 wherein R<sub>1</sub> is methyl.

51. (Previously Presented) The compound of claim 47 wherein R<sub>1</sub> is C<sub>3-6</sub>cycloalkyl.

52. (Previously Presented) The compound of claim 47 wherein R<sub>1</sub> is cyclopropyl.

53. (Previously Presented). The compound of claim 47 wherein X is a sulfur atom.

54. (Previously Presented) The compound of claim 47 wherein X is an oxygen atom.

55. (Previously Presented) The compound of claim 53 wherein one of R<sub>2</sub> and R<sub>3</sub> is H, the other one is F.

56. (Previously Presented) The compound of claim 54 wherein one of R<sub>2</sub> and R<sub>3</sub> is H, the other one is F.

57. (Previously Presented) The compound of claim 47 wherein R<sub>5</sub> is H.

58. (Previously Presented) The compound of claim 47 wherein R<sub>5</sub> is C<sub>1-4</sub>alkyl, optionally substituted with OH; or C<sub>1-4</sub>alkyl substituted with C(=O)NHC<sub>1-4</sub>alkyl,

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C(=O)NH<sub>2</sub> or phenyl; wherein the phenyl is optionally substituted with OH, methyl, NO<sub>2</sub>, CF<sub>3</sub>, or CN.

59. (Previously Presented) The compound of claim 47 wherein R<sub>5</sub> is CH<sub>3</sub>, or ethyl.

60. (Previously Presented) The compound of claim 47 wherein R<sub>5</sub> is C<sub>1-4</sub>alkyl substituted with phenyl wherein the phenyl is optionally substituted with NO<sub>2</sub>.

61. (Previously Presented) The compound of claim 47 wherein R<sub>5</sub> is C(=O)C<sub>1-4</sub>alkyl, C(=O)OC<sub>1-4</sub>alkyl, C(=O)NH<sub>2</sub>, or C(=O)NHC<sub>1-4</sub>alkyl.

62. (Previously Presented) The compound of claim 47 wherein R<sub>5</sub> is C(=O)NHCH<sub>3</sub>, or C(=O)NHCH<sub>2</sub>CH<sub>3</sub>.

63. (Previously Presented) The compound of claim 47 wherein R<sub>5</sub> is C(=O)CH<sub>3</sub>.

64. (Previously Presented) The compound of claim 47 wherein R<sub>5</sub> is C(=O)OCH<sub>3</sub>.

65. (Previously Presented) A compound of claim 47 which is

N-((5S)-3-[3-fluoro-4-(1-imino-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)acetamide (Z)-isomer;  
N-((5S)-3-[3-fluoro-4-(1-imino-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)ethanethioamide (Z)-isomer;  
N-((5S)-3-[3-fluoro-4-(1-imino-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide (Z)-isomer;  
N-((5S)-3-[3-fluoro-4-(1-imino-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanethioamide (Z)-isomer;  
N-((5S)-3-[3-fluoro-4-[1-(acetylimino)-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)acetamide, Z-isomer;

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N-({(5S)-3-[3-fluoro-4-[1-(methylimino)-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl}methyl)propanethioamide, Z-isomer;

N-({(5S)-3-[3-fluoro-4-[1-(acetylimino)-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl}methyl)propanethioamide, Z-isomer;

N-({(5S)-3-[3-fluoro-4-[1-(ethylimino)-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl}methyl)propanethioamide, Z-isomer;

N-({(5S)-3-[3-fluoro-4-[1-[(phenylmethyl)imino]-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl}methyl)propanethioamide, Z-isomer;

N-({(5S)-3-[3-fluoro-4-[1-[(3-phenylpropyl)imino]-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl}methyl)propanethioamide, Z-isomer;

N-({(5S)-3-[3-fluoro-4-(1-{{(methylamino)carbonyl}imino}-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl}methyl)propanethioamide, Z-isomer;

N-({(5S)-3-[3-fluoro-4-(1-[(methoxycarbonyl)imino]-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl}methyl)propanethioamide, Z-isomer;

N-({(5S)-3-[3-fluoro-4-(1-[[ethoxycarbonyl)methyl]imino]-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl}methyl)propanethioamide, Z-isomer;

N-({(5S)-3-[3-fluoro-4-(1-{{[(4-nitrophenyl)amino]carbonyl}imino}-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl}methyl)propanethioamide, Z-isomer ;

N-({(5S)-3-[3-fluoro-4-[1-[(aminocarbonyl)imino]-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl}methyl)propanethioamide, Z-isomer;

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N-({(5S)-3-[3-fluoro-4-[1-[(aminocarbonyl)methyl]imino]-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl]phenyl}-2-oxo-1,3-oxazolidin-5-yl}methyl)propanethioamide, Z-isomer;

N-({(5S)-3-[3-fluoro-4-[1-[(2-hydroxyethyl)imino]-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl]phenyl}-2-oxo-1,3-oxazolidin-5-yl}methyl)propanethioamide, Z-isomer;

N-({(5S)-3-[3-fluoro-4-[1-(methylimino)-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl]phenyl}-2-oxo-1,3-oxazolidin-5-yl}methyl)cyclopropanecarbothioamide, Z-isomer;

N-[(5S)-3-{3-fluoro-4-[1-[(methoxycarbonyl)imino]-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl]phenyl}-2-oxo-1,3-oxazolidin-5-yl)methyl]cyclopropanecarbothioamide, Z-isomer;

N-[(5S)-3-{3-fluoro-4-[1-[(phenylmethoxy)carbonyl]imino]-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl]phenyl}-2-oxo-1,3-oxazolidin-5-yl)methyl]acetamide, Z-isomer; or

N-({(5S)-3-[3-fluoro-4-(1-{{(benzylamino)carbonyl}imino}-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl)phenyl}-2-oxo-1,3-oxazolidin-5-yl}methyl)acetamide, Z-isomer.

66. (Previously Presented) A method for treating microbial infections comprising: administering to a mammal in need thereof an effective amount of a compound of formula II as shown in claim 47.

67. (Previously Presented) A compound selected from the group consisting of N-({(5S)-3-[3-fluoro-4-(1-[[ethoxycarbonyl)methyl]imino]-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl]phenyl}-2-oxo-1,3-oxazolidin-5-yl}methyl)propanethioamide, Z-isomer, N-({(5S)-3-[3-fluoro-4-[1-[(aminocarbonyl)methyl]imino]-1-oxidohexahydro-1λ<sup>4</sup>-thiopyran-4-yl]phenyl}-2-oxo-1,3-oxazolidin-5-yl}methyl)propanethioamide, Z-

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isomer.